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Data Sheet

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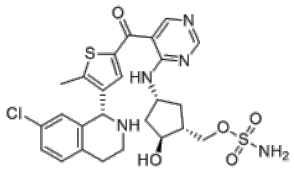
Product Name	:	TAK-981
Cat. No.	:	PC-72802
CAS No.	:	1858276-04-6
Molecular Formula	:	$C_{25}H_{28}ClN_5O_5S_2$
Molecular Weight	:	578.099
Target	:	Ubiquitin-activating Enzyme (E1)
Solubility	:	10 mM in DMSO (5.8 mg/mL)



Biological Activity

TAK-981 (TAK981, Subasumstat) is a first-in-class, potent, highly selective **SUMO-activating enzyme (SAE)** inhibitor with IC50 of 1 nM, >1000-fold selectivity against UAE and NAE.
TAK-981 also exhibits potent and prolonged cellular pathway inhibition with improved selectivity over NAE.
TAK-981 reduces SUMO2/3 conjugation in xenograft tumors following IV administration.
TAK-981 decreased SUMOylation in PDAC cells at the nanomolar range, thereby causing a G2/M cell cycle arrest, mitotic failure and chromosomal segregation defects.
TAK-981 efficiently limited tumour burden in the KPC3 syngeneic mouse model without evidence of systemic toxicity.
In vivo treatment with TAK-981 enhanced the proportions of activated CD8 T cells and natural killer (NK) cells but transiently decreased B cell numbers in tumour, peripheral blood, spleen and lymph nodes.

References

Langston SP, et al. ***J Med Chem.*** 2021 Mar 11;64(5):2501-2520.

Du L, et al. ***J Exp Clin Cancer Res.*** 2022 Jan 4;41(1):8.

Kumar S, et al. ***Gut***. 2022 Jan 24:gutjnl-2021-324834.

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